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PATENT COOPERATION TREATY

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INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY  
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference <b>B01F/202</b>	<b>FOR FURTHER ACTION</b> See Form PCT/IPEA/416	
International application No. <b>PCT/JP 03 / 13507</b>	International filing date (day/month/year) <b>22.10.2003</b>	Priority date (day/month/year) <b>23.10.2002</b>
International Patent Classification (IPC) or national classification and IPC Int.Cl. <b>C07D 231/38</b>		
Applicant <b>BANYU PHARMACEUTICAL CO., LTD.</b>		

<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of <u>4</u> sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> a total of _____ sheets, as follows:</p> <p><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>	
<p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the report</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input type="checkbox"/> Box No. VIII Certain observations on the international application</p>	

Date of submission of the demand <b>31.03.2004</b>	Date of completion of this report <b>07.12.2004</b>	
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## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP 03 / 13507

## Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

- ☐ This report is based on translations from the original language into the following language \_\_\_\_\_, which is the language of a translation furnished for the purposes of:
- ☐ international search (under Rules 12.3 and 23.1(b))
  - ☐ publication of the international application (under Rule 12.4)
  - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the elements of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

- ☒ the international application as originally filed/furnished
- ☐ the description:  
pages \_\_\_\_\_ as originally filed/furnished  
pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_  
pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ the claims:  
pages \_\_\_\_\_ as originally filed/furnished  
pages\* \_\_\_\_\_ as amended (together with any statement) under Article 19  
pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_  
pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ the drawings:  
pages \_\_\_\_\_ as originally filed/furnished  
pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_  
pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, Nos. \_\_\_\_\_
- ☐ the drawings, sheets/figs \_\_\_\_\_
- ☐ the sequence listing (specify): \_\_\_\_\_
- ☐ any table(s) related to sequence listing (specify): \_\_\_\_\_

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, Nos. \_\_\_\_\_
- ☐ the drawings, sheets/figs \_\_\_\_\_
- ☐ the sequence listing (specify): \_\_\_\_\_
- ☐ any table(s) related to sequence listing (specify): \_\_\_\_\_

\* If item 4 applies, some or all of those sheets may be marked "superseded."

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

## 1. Statement

Novelty (N)	Claims	1-45	YES
	Claims	none	NO
Inventive step (IS)	Claims	27-41	YES
	Claims	1-26, 42-45	NO
Industrial applicability (IA)	Claims	1-45	YES
	Claims		NO

## 2. Citations and explanations (Rule 70.7)

## Document 1 :

Folli, U., et al., "Effect of the structure of metallized pyrazole-monoazo dyes on their chromatic behavior", Journal of the Society of Dyers and Colourists (1980), 96(8), pp414-17

1-(2'-chlorophenyl)-3-aminopyrazole as Compound # IV is disclosed.

## Document 2 :

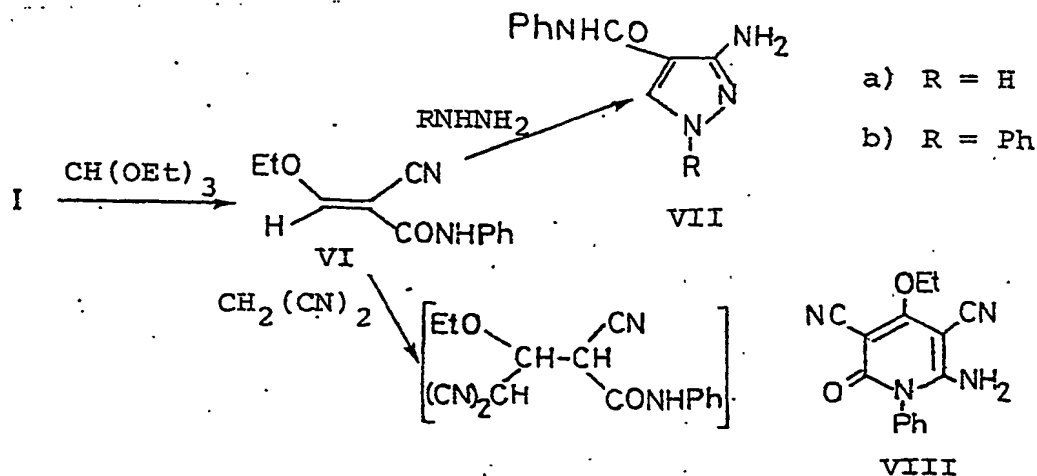
Genin, M.J., et al., "Substituent effects on the antibacterial activity of nitrogen-carbon-linked (azolyphenyl)oxazolidinones with expanded activity against the fastidious Gram-negative organisms Haemophilus influenzae and Moraxella catarrhalis", Journal of Medicinal Chemistry (2000), 43(5), pp953-970

Compound #62 is disclosed.

## Documentst 3 :

ZAYED, E.M., et al., "Activated Nitriles in Heterocyclic Synthesis. Novel Synthesis of Pyrazols, Pyridones and Pyrrolo[2,3-b]pyridones", Organic Preparations and Procedures International 17(1) pp70-5 (1985)

The following scheme is shown:



**Supplemental Box**

In case the space in any of the preceding boxes is not sufficient.

Continuation of: **Box No. 5**

**Claims 1-26, 42-45**

Claims 1-26 and 42-45 lack an inventive step as being obvious over Document 3.

In order to produce a compound I' of this application, one with skill in the art would easily adopt the scheme in Document 3 because of the similarity of the compounds (namely compound VII of Document 3 v.s. compound I' of this application), then use compound V of this application instead of compound VI of Document 3. It is merely what one with skill in the art would ordinarily do to find out the suitable reaction conditions (such as reaction temperature, solvent and so on), and the reaction conditions this application specifies may not be regarded as the one not to be foreseen.

**Claims 27-41**

Claims 27-41 have novelty and an inventive step.

The structurally closest compounds are disclosed in Document 1 and Document 2. However, compound 1-4 and compound 2-1 of this application are neither shown in Document 1 or Document 2, nor obvious over Document 1 or Document 2.